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* * *	* *	* *	* *	* Welcome to STN International * * * * * * * * * *
NEWS	1			Web Page for STN Seminar Schedule - N. America
NEWS	2	NOV	21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
NEWS	5	NOV		Two new SET commands increase convenience of STN
MEMO	5	1101	20	searching
NEWS	6	DEC	01	ChemPort single article sales feature unavailable
NEWS	7	DEC	12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS	8	DEC	17	Fifty-one pharmaceutical ingredients added to PS
NEWS	9	JAN		The retention policy for unread STNmail messages
				will change in 2009 for STN-Columbus and STN-Tokyo
NEWS	10	JAN	0 /	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS	12	FEB	02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS	13	FEB	06	Patent sequence location (PSL) data added to USGENE
NEWS	14	FEB	10	COMPENDEX reloaded and enhanced
NEWS	15	FEB		WTEXTILES reloaded and enhanced
NEWS		FEB		New patent-examiner citations in 300,000 CA/CAplus
				patent records provide insights into related prior art
NEWS	17	FEB	19	Increase the precision of your patent queries use terms from the IPC Thesaurus, Version 2009.01
NEWS	18	FEB	23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS	10	FEB	23	MEDLINE now offers more precise author group fields
CMTN	13	red	23	and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
NEWS	22	FEB	25	STN patent clusters USGENE enhanced with patent family and legal status
NEWS	23	MAR	06	display data from INPADOCDB INPADOCDB and INPAFAMDB enhanced with new display
				formats
NEWS	24	MAR	11	EPFULL backfile enhanced with additional full-text applications and grants
MERGO	25	Mar	11	
NEWS		MAR		ESBIOBASE reloaded and enhanced
NEWS	∠6	MAR	∠U	CAS databases on STN enhanced with new super role

for nanomaterial substances

NEWS 27 MAR 23 CA/CAplus enhanced with more than 250,000 patent equivalents from China

NEWS 28 MAR 30 IMSPATENTS reloaded and enhanced

NEWS 29 APR 03 CAS coverage of exemplified prophetic substances enhanced

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3, AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

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SINCE FILE TOTAL
ENTRY SESSION
0.22 0.22

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 08:05:36 ON 06 APR 2009
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STRUCTURE FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2 DICTIONARY FILE UPDATES: 5 APR 2009 HIGHEST RN 1132636-28-2

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=>



chain nodes :

7 8 12 13 14 17 19

ring nodes :

1 2 3 4 5 6 10 11 20

chain bonds :

4-17 5-7 7-8 8-20 10-11 11-12 12-13 12-14 17-19

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 10-20

exact/norm bonds :

4-17 5-7 7-8 10-11 10-20 12-13 12-14 17-19

exact bonds : 8-20 11-12

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6

G1:C,O,S

G2:0,S

G3:Cb, Cy, Hy

Match level :

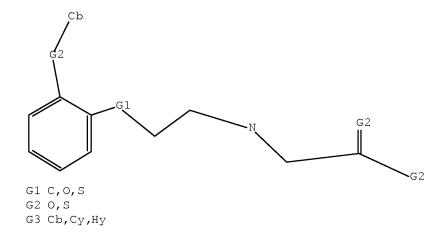
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 17:CLASS 19:CLASS 20:CLASS

### L1 STRUCTURE UPLOADED

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L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> file caplus COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.48 0.70

FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 08:05:53 ON 06 APR 2009
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15 FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s 11 SSS full REG1stRY INITIATED

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FULL SEARCH INITIATED 08:05:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22641 TO ITERATE

100.0% PROCESSED 22641 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.02

L2 0 SEA SSS FUL L1

L3 0 L2

=> file marpat

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.50 187.58

FULL ESTIMATED COST 0.50

FILE 'MARPAT' ENTERED AT 08:06:03 ON 06 APR 2009
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FILE CONTENT: 1961-PRESENT VOL 150 ISS 13 (20090403/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES (COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090048322 19 FEB 2009
DE 102007039155 19 FEB 2009
EP 2022798 11 FEB 2009
JP 2009035500 19 FEB 2009
WO 2009024087 26 FEB 2009
GB 2451715 11 FEB 2009
FR 2920023 20 FEB 2009
RU 2346937 20 FEB 2009
CA 2618420 24 JAN 2009

The new MARPAT User Guide is now available at: http://www.cas.org/support/stngen/stndoc/marpat.html.

=> s L1 SSS full

FULL SEARCH INITIATED 08:06:06 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 82559 TO ITERATE

57.4%	PROCESSED	47429	ITERATIONS			30	ANSWERS
85.3%	PROCESSED	70422	ITERATIONS			55	ANSWERS
96.0%	PROCESSED	79293	ITERATIONS			73	ANSWERS
98.5%	PROCESSED	81313	ITERATIONS	(	2 INCOMPLETE)	78	ANSWERS

99.7%	PROCESSED	82304	ITERATIONS	(	2 INCOMPLETE)	80	ANSWERS
99.7%	PROCESSED	82304	ITERATIONS	(	2 INCOMPLETE)	80	ANSWERS
99.9%	PROCESSED	82473	ITERATIONS	(	3 INCOMPLETE)	81	ANSWERS
	PROCESSED TIME: 00.02.0		ITERATIONS	(	3 INCOMPLETE)	81	ANSWERS

L4 81 SEA SSS FUL L1

=> file caplus

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SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15 FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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=> s L4

L5 81 L4

=> S L5 AND PY<=2003 24034941 PY<=2003

L6 35 L5 AND PY<=2003

=> d ibib abs hitstr 1-YOU HAVE REQUESTED DATA FROM 35 ANSWERS - CONTINUE? Y/(N):y

L6 ANSWER 1 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:892617 CAPLUS Full-text

DOCUMENT NUMBER: 139:358786

TITLE: Treatment of diabetes and diabetic complications with sodium-hydrogen exchanger type 1 (NHE-1) inhibitors

INVENTOR(S): Tracey, Wayne Ross; Treadway, Judith Lee

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	ΝΟ.		D.	ATE	
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		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	OM,	PH,
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		UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW								
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		FI,	FR,	GB,	GR,	HU,	ΙE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
CA	2483	927	•	•	A1	·	2003	1113		CA 2	003-	2483	927	•	2	0030	422 <
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EP	1499	317			A1		2005	0126		EP 2	003-	7152	32		2	0030	422
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
							RO,										ŕ
BR	2003		•	•		•	2005	•				•		•			422
MX	2004	0086	46		Α		2004	1206		MX 2	004-	8646			2	0040	906
PRIORIT										US 2	002-	3800	28P		P 2	0020	502
										WO 2							
										_	_						

OTHER SOURCE(S): MARPAT 139:358786

AB The invention provides methods for treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia-reperfusion injury, diabetic cardiac ischemia-reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. The invention also provides combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, the combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia-reperfusion injury, diabetic macroangiopathy.

REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:892447 CAPLUS Full-text

DOCUMENT NUMBER: 139:358784

TITLE: Treatment of diabetes and diabetic complications with

NHE-1 inhibitors

INVENTOR(S): Tracey, W. Ross; Treadway, Judith L.

PATENT ASSIGNEE(S): Pfizer Inc., USA

SOURCE: U.S. Pat. Appl. Publ., 27 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 20030212104	A1	20031113	US 2003-428521		20030501 <
PRIORITY APPLN. INFO.:			US 2002-380028P	Ρ	20020502
OTHER SOURCE(S):	MARPAT	139:358784			

This invention relates to methods of treating or preventing type 2 diabetes, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic microangiopathy, diabetic macroangiopathy, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury and/or insulin resistance syndrome (IRS) in mammals, particularly in humans, by administering a sodium-hydrogen exchanger type 1 (NHE-1) inhibitor or a pharmaceutical composition containing such an inhibitor. This invention also relates to combinations comprising NHE-1 inhibitors and a second pharmaceutical agent, said combinations being useful in treating type 2 diabetes, IRS, diabetic neuropathy, diabetic cardiomyopathy, cataracts, diabetic retinopathy, foot ulcers, diabetic ischemia reperfusion injury, diabetic cardiac ischemia reperfusion injury, diabetic microangiopathy and/or diabetic macroangiopathy.

ANSWER 3 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:570960 CAPLUS Full-text

DOCUMENT NUMBER: 139:133472

TITLE: Preparation of pyridones as modulators of nuclear

receptors, including liver X receptor (LXR).

INVENTOR(S): Bayne, Christopher D.; Johnson, Alan T.; Lu, Shao-po;

> Mohan, Raju; Griffith, Ronald C. X-Ceptor Therapeutics, Inc., USA

SOURCE: PCT Int. Appl., 545 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT ASSIGNEE(S):

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										WO 2	002-	US41	306	1	W 2	0021	220
OTHER SO	OURCE	(S):			MAR:	PAT	139:	1334	72								

GΙ

Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, AΒ aralkyl, heteroaralkyl, cycloalkyl, cycloalkenyl, cycloalkynyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl, alkylaminocarbonyl, CJOR30; R4 = H, (substituted) alkyl, alkenyl, alkynyl, halo, pseudohalo, CO2H, CJR30, CJNR31R32, CH2NR31R32, CH2OR31, CR30:CR31R32, NO2, NR31R32; R3R4 = atoms to form (substituted) heterocyclyl containing  $\leq 1$  oxo; R5 = (substituted) alkyl, heterocyclyl, aryl, aralkyl, heteroaralkyl, N:CR6R7, NR9R10; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, aralkyl, heteroaralkyl; R6R7, R9R10 = (substituted) alkylene, alkenylene, alkynylene, (CH2)xX(CH2)y; x, y = 1-3; X = 0, S, NR8; R8 = (substituted) alkyl, alkenyl, alkynyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl; R9, R10 = H, (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, aralkyl, heteroaralkyl; R30 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, (hetero)aryl, aralkyl, heteroaralkyl; R31, R32 = R30, CJR35; R31R32 = atoms to form (substituted) cycloalkyl, heterocyclyl, heteroaryl; J = O, S, NR40; R35 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, alkoxy, aralkoxy, (di)alkylamino, arylalkylamino, diarylamino; R40 = H, (substituted) alkyl, (hetero)aryl], were prepared Thus, 4,4,4trifluoro-1-phenyl-1,3-butanedione, cyanoacetohydrazide, and diisopropylethylamine were stirred in EtOH at 80° for 3 h to give 1-amino-2oxo-6-phenyl-4-trifluoromethyl-1,2-dihydropyridine-3-carbonitrile. The latter with cyclohexanone and trifluoroacetic acid were shaken in PhH in a sealed vial at 85° for 2 h to give 1-cyclohexylideneamino-2-oxo-6-phenyl-4trifluoromethyl-1,2- dihydropyridine-3-carbonitrile. This showed binding affinity for LXR $\alpha$  and LXR $\beta$  receptors with Ki = 0.69  $\mu$ M and 0.45  $\mu$ M, resp. REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS 2 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:473266 CAPLUS Full-text

DOCUMENT NUMBER: 139:30862

TITLE: Use of retinoid receptor antagonists or agonists in

the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S.

Ser. No. 464,344. CODEN: USXXCO

CODEN: USA.

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

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US 20030114482	A1	20030619	US 2000-552823	20000420 <

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PRIORITY APPLN. INFO.:
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                                         EP 2000-986336
                                                           A3 20001213
                                         WO 2001-US12742
                                                          W 20010419
OTHER SOURCE(S):
                       MARPAT 139:30862
     The present invention relates to methods for treating cartilage and bone
```

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

L6 ANSWER 5 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:319918 CAPLUS Full-text

DOCUMENT NUMBER: 138:338316

TITLE: Preparation of pelorol derivatives as SHIP 1

modulators

INVENTOR(S): Andersen, Raymond; Williams, David E.; Mui, Alice;

Ong, Christopher; Krystal, Gerald

PATENT ASSIGNEE(S): The University of British Columbia, Can.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.		KIN	D :	DATE		Ž	APPL	ICAT	ION I	NO.		D.	ATE	
WO 200303351	 17	 A1	_	2003	0424	-	wo 2	002-	CA15	50		2	0021	017 <
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PRIORITY APPLN. INFO.:
                                            US 2001-329506P
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                                            US 2004-825858
                                                              A3 20040416
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OTHER SOURCE(S): MARPAT 138:338316

GΙ

The present invention includes the use of pelorol and related sesquiterpene compds., e.g. of formula I [Y = CH2, CH2CH2; R1-R4 = H, OH, alkoxy, alkoxycarbonyl, CH2OH, etc.], as modulators of SHIP 1 activity. This invention also provides novel sesquiterpene compds. capable of modulating SHIP 1 activity and methods of synthesis thereof. No examples are given. The effect of pelorol on macrophage nitric oxide production is measured.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:282399 CAPLUS Full-text

Ι

DOCUMENT NUMBER: 138:304302

TITLE: Preparation of amidine-substituted polycyclic compound

prodrugs useful for selective inhibition of serine

proteases of the coagulation cascade

INVENTOR(S): South, Michael S.; Webber, Ronald K.; Huang,

Horng-chih; Toth, Mihaly V.; Moormann, Alan E.; Snyder, Jeffrey S.; Scholten, Jeffrey A.; Garland, Danny J.; Rueppel, Melvin L.; Neumann, William L.; Long, Scott; Wei, Huang; Trujillo, John; Parlow, John J.; Jones, Darin E.; Case, Brenda; Hayes, Michael J.;

Zeng, Qingping

PATENT ASSIGNEE(S): Pharmacia Corporation, USA

SOURCE: PCT Int. Appl., 547 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

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US	7105	559			В2		2006	0912										
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WO	2003	0932	42		A2		2003	1113		WO 2	002-	US31	770		2	0021	003	<
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US	2004	0082	585		A1		2004	0429		US 2	002-	2634	18		2	0021	003	
EP	1432				A2		2004				002-					0021		
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EP	1482				A2		2004				002-				_	0021		
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JE	2005509606	Τ	20050414	JΡ	2003-532061		20021003
JE	2005525413	T	20050825	JΡ	2004-501381		20021003
MΣ	2004003169	A	20040708	MX	2004-3169		20040402
MΣ	X 2004003170	A	20040708	MX	2004-3170		20040402
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PRIORIT	TY APPLN. INFO.:			US	2001-326721P	Р	20011003
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				WO	2002-US31468	W	20021003
				WO	2002-US31770	W	20021003
Отигр (	COLIDCE (C).	ייי ע כו כו עועו	120.204202				

OTHER SOURCE(S):

MARPAT 138:304302

GT

### \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

The present invention relates to prodrug compds., comprising a 5- or 6-AΒ membered heterocyclic or aromatic ring substituted with a derivatized amidine (shown as I and II; variables defined below; e.g. N-[4-[(Z)-amino[(pyridin-2ylmethoxy)imino]methyl]benzyl]-2-[6-[3-amino-5- (trifluoromethyl)phenyl]-3-(isopropylamino)-2-oxopyrazin-1(2H)-yl]acetamide (shown as III)), as well ascompns. and methods useful for preventing and treating thrombotic conditions in mammals. The prodrug compds. of the present invention selectively inhibit certain serine proteases of the coagulation cascade (no data). For I: X = 5or 6-membered heterocyclic or aromatic ring, the ring atoms being X1, X2, X3, X4, and X5 for 5-membered heterocyclic rings and X1, X2, X3, X4, X5 and X6 for 6-membered heterocyclic or aromatic rings, wherein X2 is alpha to each of X1 and X3, X3 is alpha to each of X2 and X4, X4 is alpha to each of X3 and X5, X5 is alpha to X4 and alpha to X1 if X is a 5-membered ring or to X6 if X is a 6membered ring, and X6, when present, is alpha to each of X1 and X5, wherein X1, X2, X3, X4, X5 and X6 are C, N, O or S. L1, L3 and L4 are linkages through which Z1, Z3, and Z4, resp., are covalently bonded to different ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of X, wherein Z1 is covalently bonded to X1, Z3 is covalently bonded to X3, and Z4 is covalently bonded to X4, each of L1, L3 and L4 independently being a covalent bond or comprising ≥1 atoms through which Z1, Z3, and Z4 are covalently bonded to X1, X3 and X4, resp. Z1 is hydrocarbyl or substituted hydrocarbyl; Z3 = 5or 6-membered heterocyclic or aromatic ring substituted with a derivatized amidine which, upon hydrolysis, oxidation, reduction or elimination yields an amidine group, and optionally further substituted with a halogen or hydroxy, the ring atoms of the 5- or 6-membered heterocyclic or aromatic ring of Z3 being C, S, N, or O. Z4 = 5- or 6-membered heterocyclic or carbocyclic ring having two substituents, R42 and R44, and two ring atoms each of which is in the beta position relative to the ring atom of Z4 through which Z4 is covalently bonded to X, wherein one of R42 and R44 is covalently bonded to one of said beta positions and the other of R42 and R44 is covalently bonded to the other of said beta positions, the ring atoms of the 5- or 6-membered heterocyclic or carbocyclic ring of Z4 being C, N, O, or S. R42 is amino; and R44 = H, hydrocarbyl, substituted hydrocarbyl, heterocyclo, halogen, or a (un)substituted heteroatom = N, O, S and P; provided, however, the derivatized amidine is other than amidine derivatized with tert-butoxycarbonyl. For II: each of X1, X2, X3, X4, X5 and X6 is C or N; X2 is a H bond acceptor; X9 is a direct bond or -(CH2)m- where m is 1 to 5. The metabolic stability and/or bioavailability of .apprx.20 examples of I/II are tabulated. Although the methods of preparation are not claimed, .apprx.160 example prepns. are included.

L6 ANSWER 7 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:150646 CAPLUS Full-text

DOCUMENT NUMBER: 138:195820

TITLE: Rinse-processing composition for processing silver

halide color photographic material, processing

apparatus and processing method

INVENTOR(S): Seki, Hioyuki

PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan

SOURCE: Eur. Pat. Appl., 55 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATE	ON THE			KIN	D DAT	E	Ž	APPL:	ICAT	ION :	NO.		D.	ATE		
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EP 1	286214	ļ.		A1	200	30226	I	EP 2	002-	1891	9		2	0020	823 <	(
EP 1	286214	ļ.		В1	200	80312										
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US 2	004004	13340		A1	200	40304	Ţ	JS 2	002-	2261	80		2	0020	823	
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OTHER SOURCE(S): MARPAT 138:195820

AB A rinse-processing composition of the present invention comprises a compound represented by R-(OC2H4)n-OH, (R=C8-13 alkyl; n=10-30), but comprises neither aldehyde compds. nor hexamethylenetetramine derivs. The present invention relates to a processing method and a processing apparatus using such a rinse-processing composition

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:129387 CAPLUS Full-text

DOCUMENT NUMBER: 138:164054

TITLE: Methods and compounds for the use of retinoic acid

antagonists and inverse agonists as male

anti-fertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,

Roshantha A.

PATENT ASSIGNEE(S): Allergan, Inc., USA

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 405,748,

abandoned. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6521641	B1	20030218	US 2000-591253		20000609 <
US 20030144256	A1	20030731	US 2002-304665		20021125 <
US 20070054882	A1	20070308	US 2006-503635		20060814
PRIORITY APPLN. INFO.:			US 1998-103507P	P	19981008
			US 1999-405748	В2	19990927
			US 2000-591253	A1	20000609
			US 2002-304665	В1	20021125

OTHER SOURCE(S): MARPAT 138:164054

AB This continuation—in—part patent claims methods and compds. for the inhibition or prevention of spermatogenesis in a male mammal. The compds. claimed are antagonists or inverse agonists inhibiting the transcriptional activation of retinoic receptors RAR $\alpha$ , RAR $\beta$  and/or RAR $\gamma$ . Methods for the use of those compds. as anti-fertility agents to reduce or eliminate spermatozoa in the semen of male mammals to prevent conception are claimed.

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2003:40166 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 138:89576

TITLE: Preparation of benzenesulfonamides as antagonists of

 ${\tt TXA2}$  and  ${\tt 5-HT2}$  receptors, process for their

preparation, pharmaceutical compositions containing them and therapeutic uses such as platelet aggregation

inhibitors

INVENTOR(S): Lavielle, Gilbert; Dubuffet, Thierry; Cimetiere,

Bernard; Verbeuren, Tony; Simonet, Serge;

Vayssettes-Courchay, Christine

PATENT ASSIGNEE(S): Les Laboratoires Servier, Fr.

SOURCE: Eur. Pat. Appl., 34 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PA:	TENT	NO.			KIN	)	DATE			APP	LICAT	CION	NO.		Di	ATE		
EP	1275	-			A2		2003	0115		EP	2002-	-2917	47		2	0020	711	<
EΡ	1275	641			A3		2003	0514										
EΡ	1275	641			В1		2005	0112										
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		IE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	SK			
FR	2827	280			A1		2003	0117		FR	2001-	-9339			2	0010	713	<
FR	2827	280			В1		2003	1031										
ΑT	2868	77			T		2005	0115		ΑT	2002-	-2917	47		2	0020	711	
PT	1275	641			T		2005	0531		PΤ	2002-	-2917	47		2	0020	711	
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MX	2002	0068	53		A		2005	0725		MX	2002-	-6853			2	0020	711	
ИО	2002	0033	90		A		2003	0114		ИО	2002-	-3390			2	0020	712	<
HU	2002	0022	84		A2		2003	0228		HU	2002-	-2284			2	0020	712	<
HU	2002	0022	84		А3		2005	0228										
ZA	2002	0055	97		A		2003	0327		ZA	2002-	-5597			2	0020	712	<
US	6541	471			В1		2003	0401		US	2002-	-1950	18		2	0020	712	<
JΡ	2003	1131	56		A		2003	0418		JΡ	2002-	-2034	08		2	0020	712	<
JP	3770	858			В2		2006	0426										
BR	2002	0026	85		A		2003	0506		BR	2002-	-2685			2	0020	712	<

AU 2002300092	A1	20030612	AU 2002-300092		20020712 -	<
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NZ 520141	А	20031128	NZ 2002-520141		20020712 -	<
CA 2393995	A1	20030113	CA 2002-2393995	)	20020715 -	<
CA 2393995	С	20080610				
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CN 1186318	С	20050126				
нк 1050675	A1	20050513	HK 2003-102799		20030417	
PRIORITY APPLN. INFO.:			FR 2001-9339	А	20010713	
OTHER SOURCE(S):	MARPAT	138:89576				
GT						

$$(O)_{m-CH_{2})_{n}}$$

$$(CH_{2})_{q-NH-SO_{2}}$$

$$(CH_{2})_{p-CO-R}$$

$$R$$
?

AΒ Benzenesulfonamides (shown as I; variables defined below; e.g. 3-[3-[2-[[(4chlorophenyl)sulfonyl]amino]ethyl]-5-[2-[3-(dimethylamino)propoxy]phenyl]ethyl]phenyl]propanoic acid (example 2)), methods for their preparation, pharmaceutical compns. and therapeutic uses as antagonists of TXA2 and 5-HT2 receptors are claimed. Example 2 exhibits IC50 values for inhibition of platelet aggregation induced by TXA2 and that produced by 5-hydroxytryptamine of 3.3 and 0.96  $\mu M$ . Eighteen example prepns. of I and 3 of intermediates are included. Example 2 was prepared via intermediates tert-Bu (2E)-3-[3-[(E)-2-(1,3-Dioxo-1,3-dihydro- 2H-isoindol-2y1)etheny1]-5-[(E)-2-(2-hydroxypheny1)etheny1]pheny1]-2- propenoate, tert-Bu 3-[3-[2-(1,3-Dioxo-1,3-dihydro-2H-isoindol-2-y1)] = 5-[2-(2hydroxyphenyl)ethyl]phenyl]propanoate, tert-Bu 3-[3-[2-[3-(Dimethylamino)propoxy]phenyl]ethyl]-5-[2-(1,3-dioxo-1,3-dihydro-2H-isoindol-2-y1) ethyl]phenyl]propanoate, tert-Bu 3-[3-(2-Aminoethyl)-5-[2-[2-[3-(dimethylamino)propoxy]phenyl]ethyl]phenyl]propanoate, and tert-Bu 3-[3-[2-[2-[3-(Dimethylamino)propoxy]phenyl]ethyl]-5-[2-[(phenylsulfonyl)amino]ethyl]phenyl]propanoate. In I: G = NR1R2 where R1 and R2 = H, alkyl, cycloalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, heteroaryl , or heteroarylalkyl, or, R1 and R2 together form with N atom a heterocycloalkyl group with 5-7 members in which one ring member other than the N of NR1R2 = N, O or CH2 and a ring substituent R6 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, arylcarbonyl, arylcarbonylalkyl, diarylalkyl, diarylalkenyl, heteroaryl , heteroarylalkyl, heteroarylcarbonyl , or heteroarylcarbonylalkyl. R3 = H, alkyl, or phenyl; Ra = hydroxy, alkoxy, aryloxy, arylalkyloxy, amino, alkylamino, dialkylamino, arylamino, arylalkylamino; Rb and Rc, same or different, = H, halogen, alkyl, alkoxy, hydroxy or trihaloalkyl; m = 0-1; n and q = 0-6; p and r = 1-6; their enantiomers, diastereoisomers as well as their salts of addition of a pharmaceutically acceptable acid or base are also included. REFERENCE COUNT: THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ACCESSION NUMBER: 2002:868719 CAPLUS Full-text

DOCUMENT NUMBER: 137:346211

TITLE: Methods of treating hyperlipidemia by using retinoids

as antagonists or inverse agonist of a retinoid

receptor

INVENTOR(S): Yuan, Yang-Dar; Thacher, Scott M.; Klein, Elliot S.;

Chandraratna, Roshantha A.

Allergan, Inc., USA PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT	NO.			KINI		DATE			APPI	LICAT	ION	NO.					
	2002 2002				A2		2002	1114		WO 2	2002-	 US13	 253			0020		<
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,	
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	
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		KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	СН,	CY,	DE,	DK,	ES,	FI,	FR,	GB,	
		GR,	ΙE,	ΙT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	
		GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG								
US	2002	0193	403		A1		2002	1219		US 2	2001-	8481	59		2	0010	503	<
CA	US 20020193403 CA 2445504				A1		2002	1114		CA 2	2002-	2445	504		2	0020	426	<
AU					A1		2002	1118		AU 2	2002-	2590	30		2	0020	426	<
EP	1392	284			A2		2004	0303		EP 2	2002-	7290	13		2	0020	426	
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JP	2004 1920	5322	39		Τ		2004	1021		JP 2	2002-	5869	18		2	0020	426	
EP	1920	771			A2		2008	0514		EP 2	2007-	2268	2		2	0020	426	
EP	1920	771			А3		2008	0723										
	R:	ΑT,	BE,	CH,	CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	ΙT,	LI,	LU,	MC,	
		NL,	PT,															
	4061				Τ		2008	0915		AT 2	2002-	7290	13		2	0020	426	
US	2005	0171	151		A1		2005	0804		US 2	2004-	1653	4		2	0041	217	
US	2008	0214	652		A1		2008	0904		US 2	2008-	7262	9		2	0080	227	
IORIT	Y APP	LN.	INFO	.:						US 2	2001-	8481	59		A 2	0010	503	
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										US 2	2004-	1653	4		В1 2	0041	217	
HER S	OURCE	(S):			MAR	PAT	137:	3462	11									

AB The current invention relates to methods for treating hyperlipidemia in mammals, including humans. More specifically, the current invention relates to the use of retinoid or retinoid derivative that is able to act as an antagonist or inverse agonist of a retinoid receptor to treat hyperlipidemia.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:849441 CAPLUS Full-text

DOCUMENT NUMBER: 137:353048

Combinations of pyridazinone aldose reductase TITLE:

inhibitors and cyclooxygenase-2 inhibitors

INVENTOR(S): Mylari, Banavara Lakshman PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	TENT						DATE						NO.			ATE	
																0020	 225 <
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
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		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG
CA	2445	871			A1		2002	1107		CA 2	002-	2445	871		2	0020	225 <
AU	2002	2361	31		A1		2002	1111		AU 2	002-	2361	31		2	0020	225 <
AU	2002	2361	31		В2		2005	0414									
HU	2003	0039	20		A2		2004	0301		HU 2	003-	3920			2	0020	225
HU	2003	0039	20		А3		2004	0728									
EP	1392	310			A1		2004	0303		EP 2	002-	7026	11		2	0020	225
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL,	TR						
CN	1505	514			Α		2004	0616		CN 2	002-	8090	37		2	0020	225
	2004																
NZ	5281	50			Α		2005	0324		NZ 2	002-	5281	50		2	0020	225
TW	2284	15			В		2005	0301		TW 2	002-	9110	4376		2	0020	308
US	2005	0004	124		A1		2005	0106		US 2	002-	1374	72		2	0020	430
ZA	2003	0072	04		Α		2004	0915		ZA 2	003-	7204			2	0030	915
US	2004	0198	740		A1		2004	1007		US 2	004-	8108	80		2	0040	325
RIORIT	Y APP	LN.	INFO	.:						US 2	001-	2875	24P		P 2	0010	430
										WO 2	002-	IB64	3		W 2	0020	225
										US 2	002-	1374	72		A3 2	0020	430
THER SO	OURCE	(S):			MARI	PAT	137:	3530	48								

OTHER SOURCE(S): MARPAT 137:353048

GI

Pharmaceutical compns. and kits comprise pyridazinones I [A = S, S(O), SO2; R1, R2 = H, Me; R3 = heterocyclic, heterocyclylalkyl, amino, CH2CH(OH)Ar, CH2COAr, arylamino, aralkyl; Ar = (un)substituted Ph, naphthyl] and cyclooxygenase-2 inhibitors for treatment or prevention of certain complications arising from diabetes mellitus and cardiac tissue ischemia in mammals (no data). Thus, 2-mercaptoindole was treated with 2-chloro-6-

methoxypyridazine, followed by oxidation to the sulfone and demethylation to give 6-(indole-2-sulfonyl)-2H-pyridazin-3-one.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:811992 CAPLUS Full-text

DOCUMENT NUMBER: 137:310913

TITLE: Preparation of fluoro-substituted benzenesulfonyl

pyrazoles and isoxazoles for the treatment of cyclooxygenase-2 mediated disorders such as

inflammation

INVENTOR(S): Brown, David L.; Graneto, Matthew J.; Ludwig, Cindy

L.; Molyneaux, John M.; Talley, John J.

PATENT ASSIGNEE(S): Pharmacia Corporation, USA SOURCE: Eur. Pat. Appl., 171 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PAT	FENT	NO.			KINI	D DAT	E	API	PLICATION NO.		DATE	
	EP	1251	126			A2	200	21023	EP	2002-8273		20020419	<
	EΡ	1251	126			А3	200	21030					
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			ΙE,	SI,	LT,	LV,	FI, RC	, MK,	CY, A	L, TR			
	US	2003	0032	657		A1	200	30213	US	2002-124209		20020416	<
	US	6673	818			В2	200	40106					
	US	2003	0149	078		A1	200	30807	US	2002-319916		20021213	<
	US	6699	884			В2	200	40302					
	US	2004	0138	261		A1	200	40715	US	2003-734829		20031212	
PRIO	RIT	Y APP	LN.	INFO	.:				US	2001-285264P	F	20010420	
									US	2002-124209	P	1 20020416	
									US	2002-319916	P	1 20021213	

OTHER SOURCE(S): MARPAT 137:310913

GΙ

AB Fluoro-substituted benzenesulfonyl compds. (shown as I (e.g. 1-(3-chloro-4-methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3- (trifluoromethyl)-1H-pyrazole), or a pharmaceutically-acceptable salt, tautomer or prodrug thereof) for treating cyclooxygenase-2 mediated disorders such as inflammation are described. In I, A is a 5- or 6-member ring substituent selected from partially saturated or unsatd. heterocyclic and carbocyclic rings; X is fluoro; n ≥ 2; R1 is cyclohexyl, pyridinyl, or Ph, optionally substituted with 1-3 radicals selected from C1-2-alkyl, C1-2-haloalkyl, cyano, carboxy, C1-2-alkoxycarbonyl, hydroxy, C1-2-hydroxyalkyl, C1-2-haloalkoxy, amino, C1-2-alkylamino, phenylamino, nitro, C1-2-alkoxy-C1-2-alkyl, C1-2-alkylsulfinyl,

halo, C1-2-alkoxy and C1-3-alkylthio; R2 is alkyl or amino. R3 represents  $\geq 1$ radicals selected from hydrido, halo, C1-2-alkyl, C2-3-alkenyl, C2-3-alkynyl, oxo, cyano, carboxy, cyano-C1-3-alkyl, heterocyclyloxy, C1-3-alkoxy, C1-3alkylthio, alkylcarbonyl, cycloalkyl, Ph, C1-3-haloalkyl, heterocyclyl, cycloalkenyl, phenyl-C1-3-alkyl, heterocyclyl-C1-3-alkyl, C1-3-alkylthio-C1-3alkyl, C1-3-hydroxyalkyl, C1-3-alkoxycarbonyl, phenylcarbonyl, phenyl-C1-3alkylcarbonyl, phenyl-C2-3-alkenyl, C1-3-alkoxy-C1-3-alkyl, phenylthio-C1-3alkyl, phenyloxyalkyl, alkoxyphenylalkoxyalkyl, alkoxycarbonylalkyl, aminocarbonyl, aminocarbonyl-C1-3-alkyl, C1-3-alkylaminocarbonyl, Nphenylaminocarbonyl, N-(C1-3-alkyl)-N-phenylaminocarbonyl, C1-3alkylaminocarbonyl-C1-3-alkyl, carboxy-C1-3-alkyl, C1-3-alkylamino, Narylamino, N-aralkylamino, N-(C1-3-alkyl)-N-aralkylamino, N-(C1-3-alkyl)-Narylamino, amino-C1-3-alkyl, C1-3-alkylaminoalkyl, N-phenylamino-C1-3-alkyl, N-phenyl-C1-3-alkylaminoalkyl, N-(C1-3-alkyl)-N-(phenyl-C1-3-alkyl)amino- C1-3-alkyl, N-(C1-3-alkyl)-N-phenylamino-C1-3-alkyl, phenyloxy, phenylalkoxy, phenylthio, phenyl-C1-3-alkylthio, C1-3-alkylsulfinyl, C1-3-alkylsulfonyl, aminosulfonyl, C1-3-alkylaminosulfonyl, N-phenylaminosulfonyl, phenylsulfonyl, and N-(C1-3-alky1)-N-phenylaminosulfonyl. The selective inhibition of COX-2compared to COX-1 is reported for 10 examples of I; e.g. 1-(3-chloro-4methylphenyl)-5-[3,5-difluoro-4-(methylsulfonyl)phenyl]-3- (trifluoromethyl)-1H-pyrazole shows IC50 values of 0.09 and >100  $\mu\text{M}$ , resp. Although the methods of preparation are not claimed, 15 example prepns. are included and hundreds of pyrazoles and isoxazoles are listed in the claims.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:793584 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 137:310696

TITLE: Preparation of N-hydroxyphenylacetamides as peptide

deformylase inhibitors

INVENTOR(S): Bhat, Ajita; Christensen, Siegfried B., IV; Frazee,

James S.; Head, Martha S.; Leber, Jack Dale; Li, Mei

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 22 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PAT	TENT	NO.			KIN	D	DATE		-	APPL:	ICAT	ION 1	.00		D.	ATE	
WO	2002	0814	26		A1		2002	1017	,	WO 2	002-	US10	506		2	0020	404 <
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		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	UG,	US,	UZ,	VN,	YU,	ZA,	ZM,	ZW							
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		CY,	DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,
		BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG
AU	2002	2525	85		A1		2002	1021		AU 2	002-	2525	85		2	0020	404 <
EP	1383	729			A1		2004	0128		EP 2	002-	7216	67		2	0020	404
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR						
JP	2004	5275	30		Τ		2004	0909		JP 2	002-	5794	14		2	0020	404
US	2004	0267	015		A1		2004	1230		US 2	003-	4731	04		2	0030	929
RIORIT	Y APP	LN.	INFO	.:						US 2	001-	2816	13P		P 2	0010	405

OTHER SOURCE(S): MARPAT 137:310696

GΙ

AB PDF inhibitors I [X = CO2(C1-6-alkyl), OR1, NR1R6, CONR1R6, C(:0)R6; R1 = H, (un)substituted C1-6-alkyl, Ar-(C1-6-alkyl); R1R6 = 5- or 6-membered cyclic system which may contain an O or (un)substituted N; R2 = I, Br, Cl, CHMe2, CMe3; R3 = H, I, Br, Cl, CHMe2, CMe3, ZR8; Z = O, N, NC(:O), C(:O)N, SO2N, CONHSO2, CH2; R6 = H, Me; R8 = (un)substituted C1-4-alkyl; Ar = (un)substituted Ph, furyl, pyridyl, thienyl, thiazolyl, isothiazolyl, pyrazolyl, tetrazolyl, imidazolyl, benzofuranyl, indolyl, thiazolidinyl, isoxazolyl, oxadiazolyl, thiadiazolyl, pyrrolyl, pyrimidinyl] and novel methods for their use are provided. Thus, I (X = OC6H4OH, R2 = R3 = I) was prepared from 3,5-diiodothyroacetic acid via esterification with MeOH containing H2SO4 followed by amidation with NH2OH in aqueous dioxane. I was tested for PDF inhibition and antimicrobial activity (MIC = 0.06 - 64 mcg/mL).

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:755213 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 137:279206

TITLE: Preparation of sulfenyl, sulfinyl and sulfonyl

pyridazinone aldose reductase inhibitors for treating/preventing diabetic complications

INVENTOR(S):
Mylari, Banavara L.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 39 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIN	D DATE	APPI	LICATION NO.	DATE
US 2002014301 US 6579879				2002-104664	20020321 <
CA 2442476 WO 2002079198	A1 A1		0=0	2002-2442476 2002-IB320	20020131 < 20020131 <
W: AE, A	G, AL, AM,	AT, AU, A	AZ, BA, BB,	BG, BR, BY,	BZ, CA, CH, CN,
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•		, ,	, , ,	, , ,	NO, NZ, OM, PH, TN, TR, TT, TZ,
•			ZA, ZM, ZW SD, SL, SZ,	TZ, UG, ZM,	ZW, AT, BE, CH,

BF, BJ, C AU 2002226634 AU 2002226634 EP 1373259	F, CG, CI, CM, GA, A1 20021015 B2 20070125 A1 20040102	GR, IE, IT, LU, MC, GN, GQ, GW, ML, MR, AU 2002-226634  EP 2002-716247	NE, SN, TD, TG
EP 1373259 R: AT, BE, C	B1 20041229	GB, GR, IT, LI, LU,	
HU 2003003644	A2 20040301	EE 2003-470 HU 2003-3644	20020131
BR 2002008571 NZ 528406 CN 1500087	A 20040323 A 20040326 A 20040526	BR 2002-8571 NZ 2002-528406 CN 2002-807600	20020131 20020131 20020131
EP 1491540	A1 20041229	EP 2004-23149	20020131
IE, SI, L	H, DE, DK, ES, FR, I, LV, FI, RO, MK,	GB, GR, IT, LI, LU, CY, AL, TR	
	B1 20070124	GB, GR, IT, LI, LU,	
AT 286049 PT 1373259	T 20050115 T 20050331	AT 2002-716247 PT 2002-716247 ES 2002-716247	20020131
DE 60202452	C5 20061123	DE 2002-60202452 AT 2004-23149 AT 2004-23150 ES 2004-23149 TW 2002-91106386	20020131
US 20030162784	A1 20030828	ES 2004-23149 TW 2002-91106386 US 2003-370895	20020131 20020329 20030220 <
ZA 2003004671 IN 2003MN00639	B2 20050201 A 20040625 A 20050318	ZA 2003-4671 IN 2003-MN639	20030624
BG 108179 NO 2003004345 MX 2003008850 HK 1061678	A 20031204	NO 2003-4345 MX 2003-8850	20030917 20030929 < 20030929 < 20040624
US 20050113381 PRIORITY APPLN. INFO.:	A1 20051104 A1 20050526		20040624 20041018 P 20010330 A3 20020131
		WO 2002-IB320 US 2002-104664 US 2003-370895	W 20020131 A3 20020321 A3 20030220
OTHER SOURCE(S): GI	MARPAT 137:2792	06	

The present invention relates to novel pyridazinone compds. (shown as I; variables partially described below; e.g. 6-(2-indolylsulfonyl)-2H-pyridazin-3-one), pharmaceutical compns. comprising those compds. and to methods of using such compds. and compns. to inhibit aldose reductase, lower sorbitol levels and, thus, lower fructose levels, and/or treat or prevent diabetic complications such as diabetic neuropathy, diabetic retinopathy, diabetic nephropathy, diabetic cardiomyopathy, diabetic microangiopathy and diabetic macroangiopathy in mammals. This invention also relates to methods of affording cardioprotection to subjects not suffering from diabetes. This invention also relates to pharmaceutical compns. and kits comprising a combination of an aldose reductase inhibitor (ARI) of this invention and a sorbitol dehydrogenase inhibitor and to methods of using such compns. or kits to treat or prevent the above diabetic complications in mammals. This invention also relates to other combinations with the ARIs of this invention, including combinations with adenosine agonists; NHE-1 inhibitors; glycogen phosphorylase inhibitors; selective serotonin reuptake inhibitors; GABA agonists; antihypertensive agents; 3-hydroxy-3-methylglutaryl CoA reductase inhibitors; phosphodiesterase-5 inhibitors; and to glucose lowering agents. In I, A is S, SO or SO2; R1 and R2 are each independently H or Me; R3 is heteroaryl, -CHR4(heteroaryl) or NR6R7; R4 is H or (C1-C3)alkyl; R6 is (C1-C6)alkyl, aryl or heteroaryl; R7 is heteroaryl. No pharmacol. data is included. Although the methods of preparation are not claimed, .apprx.50 example prepns. are included.

L6 ANSWER 15 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2002:754366 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 137:279197

TITLE: Preparation of five-membered heterocyclic alkanoic

acid derivatives as remedies for diabetes and

hyperlipidemia

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Imoto, Hiroshi; Odaka,

Hiroyuki; Kimura, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 165 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

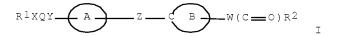
FAMILY ACC. NUM. COUNT: 1

PA:	FENT :	NO.			KIN:	D .	DATE		i	APPL:	ICAT	ION 1	. OV		Dž	ATE	
WO	2002	0769	59		A1		2002	1003	Ţ	WO 2	002-	JP27	41		20	0020	322 <
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		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	OM,	PH,	PL,
		PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,
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ΑU	2002	2390.	23		A1		2002	1008	2	AU 2	002-	2390:	23		20	0020	322 <
JΡ	2002	3482	81		Α		2002	1204		JP 2	002-	8162	1		20	0020	322 <
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	2004 7241		_						1	US 2	003-	4721.	59		20	0030	922

PRIORITY APPLN. INFO.: JP 2001-85572 A 20010323 WO 2002-JP2741 W 20020322

OTHER SOURCE(S): MARPAT 137:279197

GΙ



AB The title compds. I [R1 represents an optionally substituted five-membered heterocyclic group; X represents a bond, etc.; Q represents a C1-20 divalent hydrocarbon group; Y represents a bond, etc.; ring A represents an aromatic ring optionally having one to three substituents; Z represents (CH2)nZ1 (n is an integer of 0 to 8 and Z1 represents a bond, etc.), etc.; ring B represents a five-membered heterocycle optionally having one to three substituents; W represents a C1-20 divalent saturated hydrocarbon group; and R2 represents OH, etc.] are prepared A process for preparing I is disclosed. Compds. of this invention at 0.01% in feed given to diabetic mice for 4 days caused 43% to 42% decrease of blood sugar. Formulations are given.

REFERENCE COUNT: 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 16 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:798081 CAPLUS Full-text

DOCUMENT NUMBER: 135:339297

TITLE: Use of retinoid receptor antagonists or agonists in

the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

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WO	2001	0808	94		A3		2002	0725										
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		ZA,	ZW															
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US	2003	0114	482		A1		2003	0619		US 2	000-	5528	23		2	0000	420 -	<
CA	2407	021			A1		2001	1101	1	CA 2	001-	2407	021		2	0010	419 -	<
EP	1274	456			A2		2003	0115		EP 2	001-	9286.	54		2	0010	419 -	<
EP	1274	456			В1		2004	1229										
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JP 2003531180 T 20031021 JP 2001-577990
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     AT 285794
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                               20050115 AT 2001-928654
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                         B2 20060727 AU 2001-255488
     AU 2001255488
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                         A1 20050610 HK 2003-105084
     HK 1053053
                                                                     20030714
                                             US 2000-233216 20061027

US 2000-552823 A 20000420

US 1999-464344 A2 19991215

WO 2001-US12742 W 20010419
                         A1
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                               20061116
PRIORITY APPLN. INFO.:
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OTHER SOURCE(S): MARPAT 135:339297

The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 17 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:693315 CAPLUS Full-text

DOCUMENT NUMBER: 135:242245 TITLE: Preparation of

> 6-aminoalkyl-2-heterocyclyl-4-phenyldihydropyrimidine-5-carboxylates as antiviral agents for treatment of

hepatitis B infection.

Goldmann, Siegfried; Stoltefuss, Juergen; Niewoehner, INVENTOR(S):

Ulrich; Schlemmer, Karl-Heinz; Keldenich, Joerg; Paessens, Arnold; Graef, Erwin; Weber, Olaf; Deres,

PATENT ASSIGNEE(S): Bayer Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Pat.ent. LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D.	ATE	
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		HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,	LK,	LR,	LS,
		LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MΖ,	NO,	NΖ,	PL,	PT,	RO,
		RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,
		VN,	YU,	ZA,	ZW,	ΑM,	ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM			
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	AT,	BE,	CH,	CY,
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	BF,
		ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG		
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PRIOR	ITY APP	LN.	INFO	.:						DE 2	000-	1001	3126		A 2	0000	317
OTHER	SOURCE	(S):			MAR	PAT	135:	2422	45								

GΙ

$$R^{3}O$$
 $X$ 
 $N$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 

$$R^{3}$$
0  $R^{2}$ 
 $N^{1}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{3}$ 
 $R^{3}$ 

AB Title compds. I and II [R1 = (substituted) pyridyl, pyrimidyl, pyrazinyl, thiazolyl; R2 = (substituted) aryl, heteroaryl; R3 = (substituted) (O-, S-interrupted) alkyl; R4 = (substituted) alkyl, aryl, heteroaryl, etc.; R5 = H, (substituted) (interrupted) alkyl, heteroaryl, etc.; R4R5 = (substituted) (interrupted) cycloalkyl, etc.; X = (substituted) (O-interrupted) alkylene], were prepared Thus, Me (R)-6-bromomethyl-4-(2-chloro-4-fluorophenyl)-2-(3,5-difluoro-2-pyridinyl)- 1,4-dihydropyrimidine-5-carboxylate (preparation given) was stirred with Na2CO3 and 1-cyclopropylpiperazine dihydrochloride in MeOH for 2 h at room temperature to give 87.6% Me (R)-4-(2-chloro-4-fluorophenyl)-6-[(4-cyclopropyl-1- piperazinyl)methyl]-2-(2,3-difluoro-2-pyridinyl)-1,4-dihydropyrimidine-5- carboxylate. Several title compds. inhibited intra- or extracellular DNA of hepatitis B virus-producing Hep G2.2.15-cells with inhibited with IC50 = 0.015-0.08 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 18 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:472731 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 135:61439

TITLE: Phosphonic acid derivatives as inhibitors of protein

tyrosine phosphatase 1B (PTP-1B)

INVENTOR(S): Leblanc, Yves; Dufresne, Claude; Gauthier, Jacques

Yves; Lau, Cheuk Kun; Li, Chun Sing; Roy, Patrick;

Therien, Michel; Scheigetz, John; Wang, Zhaoyin

PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.

SOURCE: PCT Int. Appl., 101 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PA'	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION	NO.		D	ATE		
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WO	2001																	
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CA	2393	367			A1		2001	0628		CA 2	000-	2393.	367		2	0001	221 <	
US	2002	0058	644		A1		2002	0516		US 2	000-	7452	11		2	0001	221 <	
US	6486	142			В2		2002	1126										
EΡ	1244	678			A1		2002	1002		EP 2	-000	9869.	35		2	0001	221 <	

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        JP 2003518130
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                                                                         JP 2001-547115
                                                                                                                20001221 <--
                                                                                                       P 19991222
PRIORITY APPLN. INFO.:
                                                                         US 1999-171520P
                                                                         WO 2000-CA1550 W 20001221
OTHER SOURCE(S):
                                         MARPAT 135:61439
         Twenty-four antidiabetic and antiobesity title compds. were prepared by
         standard methods. Among the compds. prepared were: 2-bromo-4-[2-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-phenyl-2-(5-
         phenyl-1,2,4-oxadiazol-3- yl)ethyl|phenyl(difluoro)methylphosphonic acid and
         [(isopropoxycarbonyl)oxy]methyl hydrogen [2-bromo-4-(3-oxo-2,3-
         diphenyl)phenyl](difluoro)methyl phosphate. The invention also encompasses
         pharmaceutical compns. and methods of treating or preventing PTP-1B mediated
         diseases, including diabetes, obesity, and conditions related to diabetes.
REFERENCE COUNT:
                                                   THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                                                   RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
        ANSWER 19 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN
1.6
ACCESSION NUMBER:
                                         2001:452848 CAPLUS Full-text
                                         135:41045
DOCUMENT NUMBER:
TITLE:
                                         Use of retinoid receptor antagonists in the treatment
                                         of cartilage and bone pathologies
                                       Pacifici, Maurizio; Chandraratna, Roshantha A.
INVENTOR(S):
PATENT ASSIGNEE(S):
                                     Allergan Sales, Inc., USA
                                        PCT Int. Appl., 53 pp.
SOURCE:
                                         CODEN: PIXXD2
DOCUMENT TYPE:
                                         Patent
LANGUAGE:
                                         English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:
                                   KIND DATE
                                                                      APPLICATION NO.
                                                                                                             DATE
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                                        ____
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                                                                       WO 2000-US33697
                                         A2
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A3 20020321
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                     LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
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        AU 784189
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        EP 1645271
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                      IE, FI, CY, TR
PRIORITY APPLN. INFO.:
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                                                                         WO 2000-US33697
                                                                                                        W 20001213
                                         MARPAT 135:41045
OTHER SOURCE(S):
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AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis, comprising administering therapeutically effective amts. of retinoid receptor

antagonists. AG1-X2 ion exchange beads were soaked for 1 h in a solution of 4-[[5,6-dihydro-5,5-dimethyl-8-(4-methylphenyl)-2- naphthalenyl]ethynyl]-benzoic acid (AGN 109) and implanted in the vicinity of the prospective humeral mesenchymal condensation in stage 21-22 chick embryos and determined whether humerus development had been impaired by day 10 in vivo. AGN 109-containing beads showed striking effects on humerus development.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 20 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:396864 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 135:19632

TITLE: Preparation of pyrazolyl- and pyrrolylalkanoic acid

derivatives with hypoglycemic and hypolipidemic

activity

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Odaka, Hiroyuki;

Kimura, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 375 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND DATE	APPLICATION NO.	
		WO 2000-JP7877	
W: AE, AG, AL,	, AM, AU, AZ, BA,	BB, BG, BR, BY, BZ, CA,	CN, CR, CU,
CZ, DM, DZ,	, EE, GD, GE, HR,	HU, ID, IL, IN, IS, JP,	KG, KR, KZ,
LC, LK, LR,	, LT, LV, MA, MD,	MG, MK, MN, MX, MZ, NO,	NZ, PL, RO,
		TT, UA, US, UZ, VN, YU,	
		SL, SZ, TZ, UG, ZW, AT,	
		IE, IT, LU, MC, NL, PT,	
BJ, CF, CG,	, CI, CM, GA, GN,	GW, ML, MR, NE, SN, TD,	TG
CA 2390923	A1 20010531	CA 2000-2390923 JP 2000-347462	20001109 <
JP 2001226350	A 20010821	JP 2000-347462	20001109 <
JP 3723071	B2 20051207		
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HU 2002003165	A2 20030128	HU 2002-3165	20001109 <
HU 2002003165	A3 20040329	TT 0000 015006	00001100
JP 2003137865	A 20030514	JP 2002-315096 NZ 2000-519238 AT 2000-974857 EP 2004-76508	20001109 <
NZ 519238	A 20031128	NZ 2000-519238	20001109 <
AT 2/1049	T 20040715	AT 2000-9/485/	20001109
EP 145/490	A1 20040915	EP 2004-76508	20001109
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		PT 2000-974857 ES 2000-974857	
		AU 2001-13031	
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MX 2002002100	A 20020700	MX 2002-4647	
IIS 7179823	B1 20070220	US 2002-129702	20020509
MX 2002004647 US 7179823 IN 2002KN00645	A 20050311	IN 2002-KN645	20020503
111 2002111100043	20050511	114 2002 1111040	20020313

ZA 2002003824	A	20031015	ZA 2002-	-3824		20020514 <
HK 1045991	A1	20041210	HK 2002-	-106297		20020827
PRIORITY APPLN. INFO.:			JP 1999-	-320317 A	7	19991110
			JP 1999-	-352237 A	7	19991210
			JP 1999-	-352236 A	7	19991210
			EP 2000-	-974857 A	73	20001109
			JP 2000-	-347462 A	73	20001109
			WO 2000-	-JP7877 W	Ī	20001109

OTHER SOURCE(S): MARPAT 135:19632

GΙ

$$X1 - R2$$
 $R1 - X - (CH2)m - Y - A - (CH2)n - B - W - CO - R3$ 

$$\begin{array}{c} \text{Me} \\ \text{CH}_2 - \text{O} \\ \text{S} \end{array}$$

Title compds. (I) [wherein R1 = (un)substituted hydrocarbon or heterocycle; X AΒ = bond, O, S, CO, CS, CR4(OR5), or NR6; R4 and R6 = independently H or (un) substituted hydrocarbon; R5 = H or hydroxyl protective group; m = 0-3; Y =O, S, SO, SO2, NR7, CONR7, or NR7CO; R7 = H or (un)substituted hydrocarbon; A = (un)substituted aromatic ring; n = 1-8; B = (un)substituted N-containing 5membered heterocycle; X1 = bond, O, S, SO, SO2, OSO2, or NR16; R16 = H or (un) substituted hydrocarbon; R2 = H or (un) substituted hydrocarbon or heterocycle; W = bond or hydrocarbon; R3 = OR8 or NR9R10; R8 = H or (un) substituted hydrocarbon; R9 and R10 = independently H or (un) substituted hydrocarbon or heterocycle; or R9 and R10 together with the N to which they are attached may form a ring] were prepared as retinoid-related receptor function regulating agents or insulin resistance improving agents. For example, Et 3-[1-(4-hydroxybenzyl)-4-phenyl-3-pyrrolyl]propionate and 4chloromethyl-5-methyl-2-(2-thienyl)oxazole were coupled in the presence of K2CO3 in DMF and treated with HCl to give II (77%). At a concentration of 0.001%, II reduced hypoglycemic and hypolipidemic action by 48% and 70%, resp., lowered total cholesterol by 16%, and increased the plasma antiarteriosclerosis index by 12% compared to non-treatment groups of mice. In addition, II showed potent PPARy-RXR $\alpha$  heterodimer ligand activity with EC50 of 1.5 nM. I are useful for the prevention or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, and arteriosclerosis.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 21 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:247339 CAPLUS Full-text DOCUMENT NUMBER: 134:261280

TITLE: Azepinoindolone derivatives as poly(ADP-ribose)

polymerase inhibitors

INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoeger, Thomas;

Grandel, Roland; Mueller, Reinhold; Schult, Sabine

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 21 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PA:	PATENT NO.			KIND DATE			APPLICATION NO.					DATE						
WO	2001	0233	90		A2	_	2001	0405	WO 2000-EP9024					20000915 <				
WO	2001	0233	90		A3		2001	1227										
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,	
		CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,	GM,	HR,	
		HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	ΚP,	KR,	KΖ,	LC,	LK,	LR,	LS,	LT,	
		LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NΖ,	PL,	PT,	RO,	RU,	
		SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VN,	
		YU,	ZA,	ZW														
	RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZW,	ΑT,	BE,	CH,	CY,	
		DE,	DK,	ES,	FΙ,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GA,	GN,	GW,	ML,	MR,	NE,	SN,	TD,	TG				
DE	1994	6289			A1		2001	0329		DE 1	999-	1994	6289		1	9990	928	<
DE	1003	9610			A1		2002	0228		DE 2	000-	1003	9610		2	0000	809	<
	2352															0000	915	<
BR	2000	0071	74		А		2001	0904		BR 2	000-	7174			2	0000	915	<
EP	1183	259			A2		2002	0306		EP 2	000-	9743	79		2	0000	915	<
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,	
		IE,	SI,	LT,	LV,	FI,	RO											
HU	2001	0049	17		A2		2002	0429		HU 2	001-	4917			2	0000	915	<
HU	2001	0049	17		А3		2002	1228										
JP	2001 2003	5103	28		Τ		2003	0318		JP 2	001-	5265	42		2	0000	915	<
MX	2001	0051	99		А		2002	0311			001-							
NO	2001	0025	67		А		2001	0625		NO 2	001-	2567			2	0010	525	<
	2001						2005	0304		IN 2	001-	CN72	6		2	0010	525	
BG	1056	50			А		2002	0228		BG 2	001-	1056	50		2	0010	626	<
PRIORIT	Y APP	LN.	INFO								999-					9990	928	
										DE 2	000-	1003	9610		A 2	0000	809	
											000-					0000		
OTHER SO	DURCE	(S):			MARI	PAT	134:	2612			'		_		_	•		

OTHER SOURCE(S): MARPAT 134:261280

Enantiomeric and diastereomeric forms and prodrugs of azepinoindolone derivs. such as 2-(4-(4-n-propylpiperazin-1-yl)phenyl)-1,3,4,5-tetrahydro-6H-azepino[5,4,3-c,d]indol-6-one are useful as poly(ADP-ribose) polymerase inhibitors. The effectiveness of the title compds. in inhibiting poly(ADP-ribose) polymerase was demonstrated.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2001:78220 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 134:125939

TITLE: The use of retinoid receptor antagonists in the

treatment of prostate carcinoma

INVENTOR(S): Chandraratna, Roshantha A.; Brown, Geoffrey

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

BR 2000012610

EP 1202984

JP 2003505383

EP 1202984

Α

A1

IE, SI, LT, LV, FI, RO, MK, CY, AL

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KIND DATE APPLICATION NO.
    PATENT NO.
                             _____
                                         _____
                      ____
                                        WO 2000-US19849
    WO 2001007028
                      A2
                             20010201
                                                              20000721 <--
    WO 2001007028
                       A3 20010830
        W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU,
            CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL,
            IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA,
            MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
            SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                        US 1999-145287P
                      MARPAT 134:125939
OTHER SOURCE(S):
     Methods for treating prostate cancer comprise administering a therapeutically
     effective amount of a retinoid receptor antagonist. In addition, the
     invention provides methods of inhibiting the growth of a prostate carcinoma
     cell or tumor, the method comprising contacting the cell or tumor with an
     effective amount of a retinoid receptor antagonist.
REFERENCE COUNT:
                       8
                            THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS
                             RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
    ANSWER 23 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN
L6
ACCESSION NUMBER: 2001:63991 CAPLUS <u>Full-text</u>
DOCUMENT NUMBER:
                       134:115959
TITLE:
                       Preparation of novel 4,4-diphenylpiperidines for the
                       treatment of chemokine receptor related diseases and
                       conditions
INVENTOR(S):
                       Baxter, Andrew John Gilby; Brough, Stephen John;
                       McInally, Thomas
                      Astrazeneca UK Limited, UK
PATENT ASSIGNEE(S):
                       PCT Int. Appl., 100 pp.
SOURCE:
                       CODEN: PIXXD2
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
                   KIND DATE APPLICATION NO. DATE
    PATENT NO.
                      ____
                                        _____
    WO 2001005782
                             20010125 WO 2000-GB2756
                       A1
                                                              20000718 <--
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
            CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
            ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
            LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE,
            SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
            DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
            CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
    CA 2378084
                        A1 20010125 CA 2000-2378084
                                                               20000718 <--
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20020409 BR 2000-12610

A1 20020508 EP 2000-946134 B1 20030305

AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,

T 20030212 JP 2001-511441

20000718 <--

20000718 <--

20000718 <--

AT 233754	T	20030315	AT 2000-946134		20000718 <
NZ 516606	Α	20030926	NZ 2000-516606		20000718 <
AU 771344	В2	20040318	AU 2000-60016		20000718
CN 1152873	С	20040609	CN 2000-810670		20000718
US 6566376	В1	20030520	US 2000-623744		20000908 <
ZA 2001010540	A	20030324	ZA 2001-10540		20011221 <
NO 2002000282	A	20020321	NO 2002-282		20020118 <
MX 2002000671	Α	20020702	MX 2002-671		20020118 <
PRIORITY APPLN. INFO.:			SE 1999-2765	A	19990721
			WO 2000-GB2756	W	20000718

OTHER SOURCE(S): MARPAT 134:115959

GΙ

$$\begin{array}{c} \begin{array}{c} \mathbb{R}^1 \\ \mathbb{R}^2 \end{array} \\ \begin{array}{c} \mathbb{N} - \mathbb{Y} \\ \mathbb{X} + \mathbb{W} \\ \mathbb{R} - \mathbb{R}^4 \end{array} \\ \end{array} \\ \left[ \mathbb{R}^3 \right]_{\mathbf{n}} \ \mathbb{I}$$

AB The title compds. [I; R1, R2 = (un)substituted Ph; R3 = halo, NO2, alkyl, etc.; n = 0-3; R4 = H, OH, NR10R11; A = CO, CH2, a bond; Q = alkylene; U, W and X = (un)substituted C, N; V = (un)substituted N, O; Y = alkylene, CO; R10, R11 = H, alkyl, unsatd. alkyl, etc.; NR10R11 = (un)substituted 4-8 membered saturated azacyclic ring] and their pharmaceutically acceptable salts, useful in therapy, especially for the treatment of chemokine receptor related diseases and conditions (no data), were prepared E.g., a 2-step synthesis of 4,4-diphenylpiperidine II was given.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2000:240931 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 132:274821

TITLE: Male antifertility agents

INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna,

Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA SOURCE: PCT Int. Appl., 73 pp.

CODEN: PIXXD2

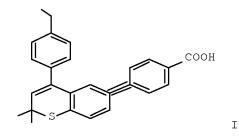
DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000019990	A2	20000413	WO 1999-US22222	19990924 <

WO 2000019990 A3 20000720 W: AU, CA, JP RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, CA 2346687 20000413 CA 1999-2346687 19990924 <--Α1 AU 9961623 Α 20000426 AU 1999-61623 19990924 <--AU 757448 В2 20030220 EP 1119350 A2 20010801 EP 1999-948451 19990924 <--EP 1119350 В1 20050223 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI JP 2002526405 Τ 20020820 JP 2000-573351 19990924 <--AT 289507 20050315 AT 1999-948451 19990924 P 19981008 PRIORITY APPLN. INFO.: US 1998-103507P WO 1999-US22222 W 19990924 OTHER SOURCE(S): MARPAT 132:274821 GΙ

31



AB Methods and compns. for inhibiting or preventing spermatogenesis in a male mammal are disclosed. AGN 194310 (I) was prepared and orally administered to rats and was not toxic and expts. showed that daily oral delivery of this RAR antagonist was sufficient to cause spermatogenic arrest.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 25 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1999:426849 CAPLUS  $\underline{\text{Full-text}}$ 

DOCUMENT NUMBER: 131:73436

TITLE: Preparation of 4-[(3-phenoxyphenyl)ethynyl]benzoates

and analogs as retinoic acid receptor ligands

INVENTOR(S): Song, Tae K.; Teng, Min; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: U.S., 30 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919970	A	19990706	US 1997-840040	19970424 <
US 6187950	B1	20010213	US 1999-267992	19990312 <
US 6455701	В1	20020924	US 2000-708972	20001108 <

US 20030109687 A1 20030612 US 2002-212386 20020805 <-US 6660755 B2 20031209

PRIORITY APPLN. INFO.:

US 1997-840040 A3 19970424
US 1999-267992 A3 19990312
US 2000-708972 A3 20001108

OTHER SOURCE(S): MARPAT 131:73436

AB Y3XY1ZY2AB [I; A = bond, alkenylene, alkynylene, etc.; B = H, CO2H, alkoxycarbonyl, CH2OH, etc.; X = CH2,O,NH, SO0-2, etc.; Z = C.tplbond.C, N:N, N:CH, CONH, etc.; Y1 = (addnl. substituted) phenylene, heteroarylene, etc. having alkyl, 1-adamantyl, alkoxy, etc. as substituent; Y2 = (un)substituted (hetero)arylene; Y3 = (un)substituted (hetero)aryl] were prepared Thus, 3-BrC6H4OH was alkylated by Me3CHOH and the product etherified by 4-IC6H4CF3 to give, in 2 addnl. steps, 4-(F3C)C6H4OY1C.tplbond.CR (Y1 = 2-tert-butyl-1,5-phenylene)(II; R = H) which was arylated by 4-IC6H4(CO2Et)-4 (preparation given) to give II [R = C6H4(CO2Et)-4]. Data for biol. activity of I were given.

REFERENCE COUNT: 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L6 ANSWER 26 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1998:226847 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 123:282789

ORIGINAL REFERENCE NO.: 128:55979a,55982a

TITLE: Preparation of N-aryl substituted tetrahydroquinolines

having retinoid agonist, retinoid antagonist or retinoid inverse agonist type biological activity

INVENTOR(S): Beard, Richard L.; Teng, Min; Colon, Diana F.; Duong,

Tien T.; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan, USA SOURCE: U.S., 21 pp. CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND DATE	APPLICATION NO.	DATE			
US 5739338	A 19980414	US 1996-744210	19961105 <			
CA 2270893	A1 19980514	CA 1997-2270893	19971029 <			
CA 2270893	C 20081021					
WO 9819999	A1 19980514	WO 1997-US19915	19971029 <			
W: AL, AM, AT,	AU, AZ, BA, BB,	BG, BR, BY, CA, CH, CN,	CU, CZ, DE,			
DK, EE, ES,	FI, GB, GE, GH,	HU, IL, IS, JP, KE, KG,	KP, KR, KZ,			
LC, LK, LR,	LS, LT, LU, LV,	MD, MG, MK, MN, MW, MX,	NO, NZ, PL,			
PT, RO, RU,	SD, SE, SG, SI,	SK, SL, TJ, TM, TR, TT,	UA, UG, UZ,			
VN, YU, ZW						
RW: GH, KE, LS,	MW, SD, SZ, UG,	ZW, AT, BE, CH, DE, DK,	ES, FI, FR,			
GB, GR, IE,	IT, LU, MC, NL,	PT, SE, BF, BJ, CF, CG,	CI, CM, GA,			
GN, ML, MR,	NE, SN, TD, TG					
AU 9851011	A 19980529	AU 1998-51011	19971029 <			
AU 729997	B2 20010222					
EP 937045	A1 19990825	EP 1997-913959	19971029 <			
EP 937045	B1 20040428					
R: AT, BE, CH,	DE, DK, ES, FR,	GB, GR, IT, LI, LU, NL,	SE, MC, PT,			
IE, SI, LT,	LV, FI, RO					
JP 2001504458	T 20010403	JP 1998-521637	19971029 <			
AT 265436	T 20040515	AT 1997-913959	19971029			
ES 2219760	T3 20041201	ES 1997-913959	19971029			

PRIORITY APPLN. INFO.: US 1996-744210 A 19961105 WO 1997-US19915 W 19971029

OTHER SOURCE(S): MARPAT 128:282789

GΙ

$$\mathbb{R}^{1}$$
 $\mathbb{R}^{2}$ 
 $\mathbb{R}^{2}$ 

AB The title compds. [I; R1 = H, C1-6 alkyl; R2 = C1-6 alkyl, F, Cl, Br, I; n = 0-3; R3 = C1-6 alkyl, F; X1, X2 = H, C1-6 alkyl; X1X2 = O; R4 = (un)substituted Ph, naphthyl, thienyl, etc.; Z = C.tplbond.C; (CR1:CR1)n (n = 0-5), CONR1; NR1CO; Y = (un)substituted Ph, naphthyl, heteroaryl; A = (CH2)q (q = 0-5), C3-6 alkyl, C3-6 cycloalkyl, etc.; B = H, COOH, CH2OH, etc.] having retinoid, retinoid antagonist or retinoid inverse agonist-like biol. activity, were prepared Thus, reaction of 4,4-dimethyl-1,2,3,4-tetrahydro-N-(4-methylphenyl)-7-ethynylquinoline (preparation described) with Et 4-iodobenzoate in the presence of Et3N, CuI and PdC12(Ph3P)2 followed by hydrolysis of the resulting Et 4-{2-[4,4-dimethyl-1,2,3,4-tetrahydro-N-(4-methylphenyl)quinolin-7-yl]ethynyl}benzoate with aqueous LiOH in THF/MeOH afforded the title compound II which showed Ki of 13 nM against RARα binding.

REFERENCE COUNT: 117 THERE ARE 117 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

TT

L6 ANSWER 27 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:361630 CAPLUS Full-text

DOCUMENT NUMBER: 126:330623

ORIGINAL REFERENCE NO.: 126:64259a,64262a

TITLE: Preparation of 4-anilinopyrido[3,4-d]pyrimidines and

analogs as protein tyrosine kinase inhibitors

INVENTOR(S): Cockerill, George Stuart; Guntrip, Stephen Barry;

Mckeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane; Vile, Sadie; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold; et al.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart;

Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page,

Martin John; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT NO.				KIND DATE			APPLICATION NO.											
WO	9713	 771			A1 19970417			WO 1996-EP4399										
	W:	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	CA,	CH,	CN,	CU,	CZ,	DE,	
		DK,	EE,	ES,	FI,	GB,	GE,	HU,	IL,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MD,	MG,	MK,	MN,	MW,	MX,	NO,	NZ,	PL,	PT,	
		RO,	RU,	SD,	SE,	SG,	SI,	SK,	ТJ,	TM,	TR,	TT,	UA,	UG,	US,	UZ,	VN	
	RW:	KΕ,	LS,	MW,	SD,	SZ,	UG,	AT,	BE,	CH,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	
		ΙE,	ΙΤ,	LU,	MC,	NL,	PT,	SE,	BF,	ΒJ,	CF,	CG						
AU	9672	896			Α		1997	0430		AU 1	996-	7289	6		1	9961	010	<
ZA	9608	551			А		1997	0718		ZA 1	996-	8551			1	9961	010	<
EP	8612	53			A1		1998	0902		EP 1	996-	9346	12		1	9961	010	<
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,	
		ΙE,																
JP	1151	3398			Τ		1999	1116		JP 1	996-	5147	11		1	9961	010	<
IN	1996	DE02	215		A		2005	0311		IN 1	996-	DE22	15		1	9961	010	
US	6169	091			В1		2001	0102		US 1	998-	5132	4		1	9980	826	<
PRIORIT	Y APP	LN.	INFO	.:						GB 1	995-	2084	5		A 1	9951	011	
										GB 1	996-	1475	7		A 1	9960	713	
										WO 1	996-	EP43	99	1	W 1	9961	010	
OTHER S	OURCE	(S):			MAR	PAT	126:	3306	23									

AB Title compds. [I; R = YZ1ZR4; R2 = H, halo, CF3, alkyl, alkoxy; R4 = cycloalkyl, Ph, thienyl, pyridyl, etc.; R6R7 = atoms to complete a (heteroaryl-substituted) heterocyclic ring; X = N or CH; Y = O, OCH2, SOO-2, (alkyl)imino, etc.; Z = O, CH2, NRb, OCH2, etc.; Rb = H or alkyl; NRbR4 = heterocyclyl; Z1 = (un)substituted phenylene] were prepared Thus, 4,6-dichloropyrido[3,4-d]pyrimidine was aminated by 4-(PhCH2O)C6H4NH2 and th product condensed with 5-tributylstannyl-N-methylimidazole to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:205247 CAPLUS Full-text

DOCUMENT NUMBER: 126:205763

ORIGINAL REFERENCE NO.: 126:39656h,39657a,39658a

TITLE: Preparation of organosilicon compounds, and liquid-crystal composition and liquid-crystal display

element

INVENTOR(S): Kondo, Tomoyuki; Matsui, Shuichi; Hachiya, Norihisa;

Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan SOURCE: PCT Int. Appl., 116 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA.	PATENT NO.			KIND DATE			APPLICATION NO.				DATE				
WO	O 9705144 W: CN, JP, KR,		KR,	A1 US			WO	1996-	JP2103		19960726 <			<	
			•		DK	, ES,	FΙ,	FR, GB	GR,	IE, IT	LU,	MC,	NL,	PT,	SE
CN	1195352			A		1998	1007	CN	1996-	196782		1	.99607	26	<
EP	872484			A1		1998	1021	EP	1996-	925097		1	99607	26	<
EP	872484			В1		2002	1002								
	R: AT	, BE,	CH,	DE,	DK	, ES,	FR,	GB, IT	, LI,	NL					
AT	225353			T		2002	1015	AT	1996-	925097		1	99607	26	<
JP	3751640			В2		2006	0301	JP	1997-	507462		1	99607	26	
US	5993690			A		1999	1130	US	1998-	409		1	99801	26	<
PRIORIT	APPLN.	INFO	.:					JP	1995-	211211		A 1	.99507	27	
								WO	1996-	JP2103		W 1	.99607	26	

OTHER SOURCE(S): MARPAT 126:205763

Organosilicon compds. represented by the general formula Ra-A-(Z1-A1)m-(Z2-A1)mA2)n-(Z3-A3)o-Rb [I; at least one of Ra, Rb, Z1, Z2 and Z3 has an SiH2 group; Ra = H or C1-2 alkyl wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH, C.tplbond.C, or 1,4-cyclobutylene; Rb = a group of any of the Ra groups, halo or cyano; A, A1, A2 and A3 represent each a bivalent ring group; Z1, Z2 and Z3 represent each independently a covalent bond or (CH2)p wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH or C.tplbond.C; p represents an integer of 1 to 4; m, n and o represent each independently 0 or 1], which are excellent in the compatibility with other liquid-crystal materials, reduced in viscosity, and improved in threshold voltage, are prepared A liquid crystal composition containing at least one silicon compound I and a liquid crystal display device using said liquid crystal composition are claimed. Thus, 10.0 g 4-bromo-4'-butoxybiphenyl was treated dropwise with BuLi in Et20 at  $-50^{\circ}$ , stirred at  $-50^{\circ}$  for 30 min, warmed to room temperature, stirred for 3 h, and resulting reaction mixture was added dropwise to a solution of 11.6 g propyltrichlorosilane in 10 mL THF at  $-50^{\circ}$ , and stirred at  $-50^{\circ}$  for 30 min and at room temperature for 48 h to give 4.6 g 4-propyldichlorosilyl-4'-butoxybiphenyl. The latter compound (3.0 g) was dissolved in Et2O and reduced by LiAlH4 at room temperature for 10 h to give 7.8% 4-propylsilyl-4'-butoxybiphenyl.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1997:186975 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 126:212053

ORIGINAL REFERENCE NO.: 126:41007a,41010a

TITLE: Preparation of bis[bi(aryl/heteroaryl)] compounds as

inhibitors of leukotriene biosynthesis

INVENTOR(S): Friesen, Richard; Dube, Daniel; Ducharme, Yves;

Lepine, Carole; Delorme, Daniel; Hamel, Pierre

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: Can. Pat. Appl., 80 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GΙ

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
				-		
CA 2169231	A1	19960816	CA 1996-2169231		19960209 <	
US 5576338	A	19961119	US 1995-388787		19950215 <	
PRIORITY APPLN. INFO.:			US 1995-388787	Α	19950215	
OTHER SOURCE(S):	MARPAT	126:212053				

The title compds. ArlAr2-X-Ar3Ar4 [I; Ar1, Ar4 = (un)substituted 5-membered aromatic ring containing one O or S and 0-3 N, 5-membered aromatic ring containing 1-4 N, 6-membered aromatic ring containing 0-3 N; Ar2 = (un)substituted arylene = 6-membered aromatic ring containing 0-3 N; Ar3 = (un)substituted arylene = 10-membered bicyclic aromatic ring containing 0-3 N, 2H-1-benzopyran-2-one, 2H-2-thioxo-1-benzopyran; X = OCH2, CH2O, O, S, S(O), S(O)2], useful as anti-asthmatic, anti-allergic, anti-inflammatory, and cytoprotective agents, and also in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis, and allograft rejection and in preventing the formation of atherosclerotic plaques, were prepared Thus, reaction of 3-fluoro-5-(4-pyridyl)phenol with 7-bromomethyl-2-cyano-4-(3-furyl)quinoline in the presence of Cs2CO3 in DMF afforded the title compound II. In general, compds. I are effective at 0.1-10 mg/kg/day.

L6 ANSWER 30 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:724140 CAPLUS Full-text

DOCUMENT NUMBER: 125:343103

ORIGINAL REFERENCE NO.: 125:63853a,63856a

TITLE: Optically active liquid crystal compound containing

deuterium atoms for display device

INVENTOR(S): Koizumi, Yasuyuki; Demus, Dietrich; Matsui, Shuichi;

Miyazawa, Kazutoshi; Sekiguchi, Yasuko; Nakagawa,

Etsuo

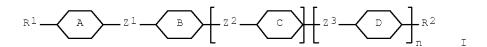
PATENT ASSIGNEE(S): Chisso Corp., Japan SOURCE: Eur. Pat. Appl., 88 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
EP 735015	A2	19961002	EP 1996-300655	19960130 <		
EP 735015	A3	19970611				
R: CH, DE, FR,	GB, IT	, LI				
JP 08325174	A	19961210	JP 1995-347773	19951214 <		
PRIORITY APPLN. INFO.:			JP 1995-100105 A	19950331		
OTHER SOURCE(S):	MARPAT	125:343103				
GI						



The title compound is represented by the formula I (R1, R2 = H, cyano, AB halogen, or alkyl or halogenated alkyl with 1-20 C atoms with the proviso that ≥1 methylene group in the alkyl group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; Z1-3 = a covalent bond or an alkylene group with 1-4 C atoms with the proviso that ≥1 methylene group in the alkylene group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; m, n = 0 or 1; rings A, B, C, D = a benzene, bicyclo[1.1.1]pentane, bicyclo[2.1.1]hexane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, naphthalene, 1,2,3,4-tetrahydronaphthalene, perhydronaphthalene, fluorene, phenanthrene, 9,10-dihydrophenanthrene, indane, indene, cycloalkane, or cycloalkene ring which may be substituted by O, S, or N atoms) with optically active C atoms bonded to D atoms. With the use of the title compound, it is possible to prepare a liquid crystal composition with controlled pitch and spiral direction without the use of a chiral dopant.

L6 ANSWER 31 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:616620 CAPLUS Full-text

DOCUMENT NUMBER: 1.25:275529

ORIGINAL REFERENCE NO.: 125:51521a,51524a

TITLE: Process for the stereospecific synthesis of

azetidinones

INVENTOR(S): Thiruvengadam, Tiruvettipuram K.; Tann, Chou Hong;

Mcallister, Timothy L.

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: U.S., 16 pp., Cont.-in-part of U.S. Ser. No. 179,008.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

US	5561227			Α		1996	1001	US	1994-2	2654	66			19940623	<
CA	2114007			A1		1993	0204	CA	1992-2	2114	007			19920721	<
CA	2114007			С		2005	1220								
AU	9223980			А		1993	0223	AU	1992-2	2398	0			19920721	<
AU	658441			В2		1995	0413								
ZA	9205487			A		1993	0331	ZA	1992-5	5487				19920721	<
EP	596015			A1		1994	0511	EP	1992-9	9167	90			19920721	<
EP	596015			В1		1997	1001								
	R: AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB, GI	R, IT,	LI,	LU,	MC,	NL	, SE	
JP	06508637	7		T		1994	0929	JP	1992-5	5029	64			19920721	<
JP	2525125			В2		1996	0814								
US	5306817			A		1994	0426	US	1992-9	9627	68			19921019	<
LV	10429			В		1995	0820	LV	1992-5	550				19921229	<
$_{ m LT}$	3369			В		1995	0825	LT	1992-2	261				19921229	<
US	6093812			A		2000	0725	US	1994-1	1790	8 0			19940107	<
NO	9400221			Α		1994	0121	NO	1994-2	221				19940121	<
PRIORITY	APPLN.	INFO	.:					US	1991-	7344.	26		В2	19910723	
								US	1991-	7346	52		В2	19910723	
								US	1992-9	9627	68		А3	19921019	
								US	1994-1	1790	8 0		A2	19940107	
								WO	1992-t	JS59	72		W	19920721	
					~						~				

CASREACT 125:275529; MARPAT 125:275529 OTHER SOURCE(S):

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AΒ Azetidinone derivs. are prepared stereospecifically by using a chiral oxazolidinone auxiliary. Thus, (R)-(+)-4-benzyl-2-oxazolidinone was acylated with Ph(CH2)4COC1, followed by aldol condensation with 4-MeOC6H4CHO, transamidation with 4-MeOC6H4NH2, and cyclization with EtO2CN:NCO2Et-PBu3 to give the azetidinone I.

REFERENCE COUNT: THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS 6 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 32 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1996:609921 CAPLUS Full-text

DOCUMENT NUMBER: 125:261498

ORIGINAL REFERENCE NO.: 125:48571a,48574a

TITLE: Electro-optic liquid crystal display with

reorientation layer

Pausch, Axel; Poetsch, Eike; Tarumi, Kazuaki; Huth, INVENTOR(S): Anja; Waechtler, Andreas; Beyer, Andreas; Schuler, Brigitte; Reiffenrath, Volker; Bremer, Matthias;

Kompter, Michael

PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany SOURCE:

PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					ГЕ 			ION NO.	NO.		DATE			
	9623851							WO	1996-	 EP239			19960122	<
	W: CN, 3	JP,	KR,	RU, I	US									
	RW: AT, E	ЗE,	CH,	DE,	DK, ES	S, FR,	GB,	GF	R, IE,	IT, LU,	MC,	NI	L, PT, SE	
DE	19528106			A1	199	960808		DE	1995-	19528106			19950801	<
DE				A1	199	960919		DE	1995-	19528107			19950801	<
DE	19528104			A1	199	970206		DE	1995-	19528104			19950801	<
DE	19528104				200	080515								
DE	19537802			A1	199	970417		DE	1995-	19537802			19951011	<
EP	807153			A1	199	971119		ΕP	1996-	901748			19960122	<
EP	807153				200	010328								
	R: DE, C	GΒ,	NL											
CN	1172496			A	199	980204		CN	1996-	191743			19960122	<
CN	1125158			С	200	031022								
JP	10512914				199	981208		JΡ	1996-	523208			19960122	<
EP	995787			A2	200	000426				124394			19960122	<
	R: DE, C	GΒ,	NL											
EP	768359			A1	199	970416		ΕP	1996-	116026			19961007	<
EP	768359			В1	200	010502								
	R: DE, C	ЗB												
	6342279			В1	200	020129		US	1996-	728370			19961010	<
JP	09125063			A	199	970513				287312			19961011	<
US	5993691			А	199	991130		US	1997-	875745			19970804	
US	6146720			А	200	001114		US	1999-	412566			19991005	<
JP	2006283031	1		А	200	061019		JΡ	2006-	129630			20060508	
	2006299273			А	200	061102		JΡ	2006-	129625			20060508	
ORIT	APPLN. IN	NFO	. :					DE	1995-	19503507		A	19950203	
										19509791		A	19950317	
										19528104		A	19950801	
										19528106		A		
										19528107		Α	19950801	
										19537802			19951011	
										901748			19960122	
										523208			19960122	
										EP239		W	19960122	
IER SO	OURCE(S):			MARP	AT 12!	5:2614		-		-			<del>-</del>	

OTHER SOURCE(S): MARPAT 125:261498

GΙ

AB An electro-optic liquid crystal display has reorientation layer for reorienting the liquid crystals whose field has a significant component parallel to the liquid crystal layer. The reorientation layer contains a liquid-crystal medium with pos. dielec. anisotropy that contains at least one mesogenic compound with a 3,4,5-trifluorophenyl group and/or at least one mesogenic compound with a structural element having the formula I (A = O, CH;

B = connection site; Z = -COO-, single bond; L1 = F, H when A is O; L2 = H, F). The liquid crystal composition is also claimed with Markush structures. REFERENCE COUNT:

6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:881320 CAPLUS Full-text

DOCUMENT NUMBER: 123:285781

ORIGINAL REFERENCE NO.: 123:51211a,51214a

TITLE: Preparation of (pyranylbenzyloxy) coumarins and analogs

as leukotriene biosynthesis inhibitors

INVENTOR(S): Fortin, Rejean; Girard, Yves; Grimm, Erich;

Hutchinson, John; Scheigetz, John

PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.

SOURCE: Can. Pat. Appl., 85 pp.

CODEN: CPXXEB

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
				_	
CA 2125824	A1	19941224	CA 1994-2125824		19940614 <
CA 2125824	С	20060711			
US 5424320	A	19950613	US 1993-81528		19930623 <
PRIORITY APPLN. INFO.:			US 1993-81528	Α	19930623
OTHER SOURCE(S):	CASRE	ACT 123:2857	81; MARPAT 123:285781		
GT					

GΙ

RZX3
$$R9$$

$$R10$$

$$R11$$

$$R12$$

$$R3$$

$$R4$$

$$R4$$

Title compds. [I; R = heterocyclyl group Q; R1 = H, OH, alkyl(oxy); R2,R4 = H, alkyl; R1R2 = O; R3 = H, (hydroxy)alkyl, alkoxyalkyl; R1R3 = (saturated)(oxa)alkylene; R7 = H, OH, alkyl(oxy), etc.; R9 = H, halo, OH, alkyl(oxy), etc.; R10 = H, alkyl, heteroaryl, etc.; R11,R12 = H, alkyl; R11R12 = bond; X1 = O, SOO-2, CH2; X2 = O, S, CH2, etc.; X3 = O, SOO-2, OCH2, CH2O, etc.; Z = (hetero)arylene; Z1 = CH(R5)m; R5 = H, OH, alkyl(oxy); m = 0 or 1] were prepared as leukotriene biosynthesis inhibitors (no data). Thus, 2,4-(HO)2C6H3COPh was etherified by 3-(4-hydroxytetrahydropyran-4-yl)benzyl

bromide (preparation given) and the product cyclocondensed with Ph3P:CH2CO2Me to give title compound II.

L6 ANSWER 34 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1995:14536 CAPLUS Full-text

DOCUMENT NUMBER: 122:72018

ORIGINAL REFERENCE NO.: 122:13491a,13494a

TITLE: Heteroarylnaphthalenes as inhibitors of leukotriene

biosynthesis

INVENTOR(S): Girard, Yves; Delorme, Daniel; Fortin, Rejean; Dube,

Daniel; Hamel, Pierre; Lepine, Carol; Ducharme, Yves

PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.

SOURCE: U.S., 39 pp. Cont.-in-part of U.S. Ser. No. 906,067,

abandoned.
CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

GΙ

PAT	TENT NC	•			KINI	O	DATE			APPL	ICAT	ION	NO.		D.	ATE		
US	530885	2				_	1994	0503		US 1	992-9	9368	07		1	 9920	 827	<
CA	209906	1			A1		1993	1230		CA 1	993-2	2099	061		1	9930	623	<
CA	209906	1			С		2003	0819										
EP	579304				A1		1994	0119		EP 1	993-2	2018	29		1	9930	624	<
	R: A	T, B	ΒE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IE,	ΙT,	LI,	LU,	NL,	PT,	SE	
ZA	930462	3			Α		1993	1222		ZA 1	993-4	4623			1	9930	628	<
AU	934156	9			Α		1994	0106		AU 1	993-4	4156	9		1	9930	628	<
WO	940044	4			A1		1994	0106		WO 1	993-0	CA27	1		1	9930	628	<
	W: E	В, В	ßG,	BR,	BY,	CZ,	FI,	HU,	KR,	KΖ,	LK,	MG,	MN,	MW,	NO,	NZ,	PL,	
	F	.O, R	U,	SD,	SK,	UA,	US											
	RW: E	F, B	IJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	ML,	MR,	ΝE,	SN,	TD,	ΤG			
CN	108790	7			Α		1994	0615		CN 1	993-1	1095	18		1	9930	628	<
JP	060878									JP 1	993-1	1855	27		1	9930	629	<
JP	071161	73			В		1995	1213										
PRIORITY	APPLN	. IN	FO.	:						US 1	992-9	9060	67		B2 1	9920	629	
										US 1	992-9	9368	07		A 1	9920	827	
OTHER SO	DURCE (S	):			MARI	PAT	122:	7201	8									

AB Compds. I [R1, R5 = H, OH, lower alkyl, lower alkoxy; R2 = H, lower alkyl, or together with R1 forms :0; R3 = H, lower alkyl, hydroxy lower alkyl, lower alkoxy lower alkyl, or R1 and R3 may join to form mono-oxa, monocarbon bridge; R4, R6, R13 = H, lower alkyl; R7 = H, OH, lower alkyl, lower alkoxy, etc.; R8

= H, halo, lower alkyl, OH, lower alkoxy, CF3, CN, COR13; R9 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, etc.; R10, R11 = H, lower alkyl, lower alkoxy, hydroxy lower alkyl, lower alkoxy, etc.; X1, X2 = O, C(R6)2 (one but not both of X1 or X2 is O); X3 = C(R6)2O, OC(R6)2; Ar1 = arylene-(R8)2 (arylene = phenylene, pyridylene, thiaylene); Ar2 = aryl-(R9)2 (aryl = 5-membered aromatic ring with 1 O or S and 0-3 N, 5-membered aromatic ring with 1-4 N, 6-membered aromatic ring with 0-3 N, 2- or 4-pyranone, etc., with provisos)] are inhibitors of leukotriene biosynthesis. These compds. are useful as antiasthmatic, antiallergic, antiinflammatory, and cytoprotective agents. They are also useful in treating angina, cerebral spasm, glomerular nephritis, hepatitis, endotoxemia, uveitis and allograft rejection, and in preventing the formation of atherosclerotic plaques. Preparation of a large number of I and of intermediates therefor is included.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 35 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 1994:298482 CAPLUS Full-text

DOCUMENT NUMBER: 120:298482

ORIGINAL REFERENCE NO.: 120:52604h,52605a

TITLE: Carbostyril derivatives and salts thereof,

anti-arrhythmic agents containing them, and their

preparation

INVENTOR(S): Tabusa, Fujio; Nagami, Kazuyoshi; Tsutsui, Hironori

PATENT ASSIGNEE(S): Higuchi, Yoshinari, Japan SOURCE: Pat. Specif. (Aust.), 148 pp.

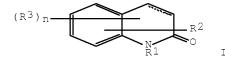
CODEN: ALXXAP

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
AU 639529	B2	19930729	AU 1991-70939	19910211 <
AU 9170939	A	19910509		
PRIORITY APPLN. INFO.:			AU 1991-70939	19910211
OTHER SOURCE(S):	MARPAT	120:298482		
GI				



AB Carbostyrils and dihydro derivs. I [R1 = H, alkyl, alkenyl, alkynyl, phenylalkyl, carboxyalkyl, phenylalkoxyalkyl, amidoalkyl, saturated heterocyclylcarbonylalkyl; R2 = N3, azidocarbonyl, phthalimido, pyrrolidinyl, pyridyl, various (un)substituted NH2 groups, piperidinyl, quinuclidinyl; R3 = alkyl, haloalkyl, alkoxy, OH, halo, CO2H, Ph, phenylalkoxy, alkenyloxy, alkanoylalkoxy, alkylaminocarbonylalkoxy; n = 0, 1, 2; optional 3,4-double bond], some of which are novel and/or prepared, are useful as antiarrhythmics. For example, cyclization of 2-[2-(4-benzyl-1-piperidinyl)acetyl]amino-3-

methylbenzaldehyde by NaOEt in refluxing EtOH gave I [R1 = H, R2 = 8-Me, R3 = 3-(4-benzyl-1-piperidinyl);  $\Delta 3$  present], isolated as the HCl salt. Various I were active at 3-300 µmol doses when tested against elec.-stimulated contractions of isolated feline cardiac muscle samples. Approx. 170 I (free bases and/or salts) are listed with phys. data, and antiarrhythmic test data are given for 27 compds.

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STN INTERNATIONAL LOGOFF AT 08:09:07 ON 06 APR 2009